

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
9 September 2005 (09.09.2005)

PCT

(10) International Publication Number
WO 2005/082863 A3

(51) International Patent Classification⁷: **C07D 239/22**,
401/04, 401/06, 405/06, 405/14, 409/10, 413/06, 413/14,
A61K 31/505, 31/506, A61P 11/00

(74) Common Representative: **BAYER HEALTHCARE AG**; Law and Patents, Patents and Licensing, 51368
Leverkusen (DE).

(21) International Application Number:
PCT/EP2005/001487

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 15 February 2005 (15.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
04004315.0 26 February 2004 (26.02.2004) EP

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except US*): **BAYER HEALTHCARE AG** [DE/DE]; 51368 Leverkusen (DE).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **GIELEN-HÄRTWIG, Heike** [DE/DE]; Claire-Waldoff-Str.23, 40789 Monheim (DE). **ALBRECHT, Barbara** [DE/DE]; Heidestr. 9, 42289 Wülfrath (DE). **KELDENICH, Jörg** [DE/DE]; Damaschkeweg 49, 42113 Wuppertal (DE). **LI, Volkhart** [DE/DE]; Im Wiesengrund 40, 42553 Velbert (DE). **PERNERSTORFER, Josef** [AT/DE]; Gerresheimer Str. 9, 40721 Hilden (DE). **SCHLEMMER, Karl-Heinz** [DE/DE]; Wildsteig 22 a, 42113 Wuppertal (DE). **TELAN, Leila** [US/DE]; Rabenweg 42, 42115 Wuppertal (DE).

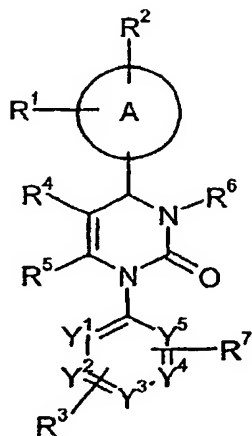
Published:

— with international search report

(88) Date of publication of the international search report:
22 December 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1,4 DIARYL-DIHYDROPYRIMIDIN-2 ONES AND THEIR USE AS A HUMAN NEUTROPHIL ELASTASE INHIBITORS



(I)

(57) Abstract: The invention relates to novel heterocyclic derivatives of the general formula (I), processes for their preparation, and their use in medicaments, especially for the treatment of chronic obstructive pulmonary diseases, acute coronary syndrome, acute myocardial infarction and heart failure development.

WO 2005/082863 A3